Figure 1 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
8 9 10 11 12 13 14 15 16 17 18 19 20 21 22	5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTACTAGCXTTCTCATC-3' (139-2) 5'-CCTACTAXCGTTCTCATC-3' (139-2) 5'-CCTACTXGCGTTCTCATC-3' (139-3) 5'-CCTACXAGCGTTCTCATC-3' (139-3) 5'-CCTAXTAGCGTTCTCATC-3' (139-4) 5'-CCTXCTAGCGTTCTCATC-3' (133-4) 5'-CCTXCTAGCGTTCTCATC-3' (145-10a) 5'-CCTXCTAGCGXTCTCATC-3' (133-5) 5'-CCTACTAGCGXTCTCATC-3' (139-7) 5'-CCTACTAGCGTXCTCATC-3' (139-6) 5'-CCTACTAGCGTTCXCATC-3' (139-8) 5'-CCTXXTAGCGTTCTCATC-3' (139-6) 5'-XXTACTAGCGTTCXXATC-3' (139-9)	X = 3') O = P O O O O O O O O O O O O O O O O O
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

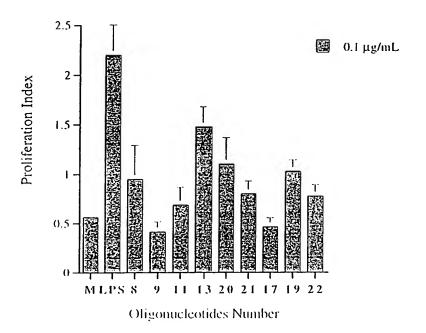


Figure 2 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
8	5'-CCTACTAG <u>CG</u> TTCTCATC-3' (133-1)	
9	5'-CCTACTAGCXTTCTCATC-3' (139-2)	
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)	~~
11	5'-CCTACTXGCGTTCTCATC-3' (139-3)	· i
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)	
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)	X = 3
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)	J. B
15	5'-CCTXCTAGCCTTCTCATC-3' (145-10a)	0,00
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)	5- (
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)	1',2'-Dideoxyribose
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)	
19	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	مجيد
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)	
22	5'-CCTACTAGCGTTCXXATC-3' (139-9)	
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

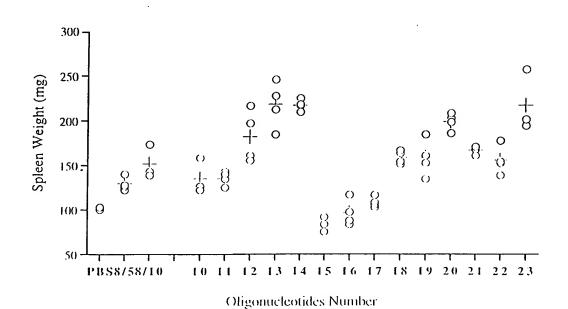


Figure 3 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~·o
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3'
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	Q
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P~0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	s [⊖] ≀
		1',2'-Dideoxyribose

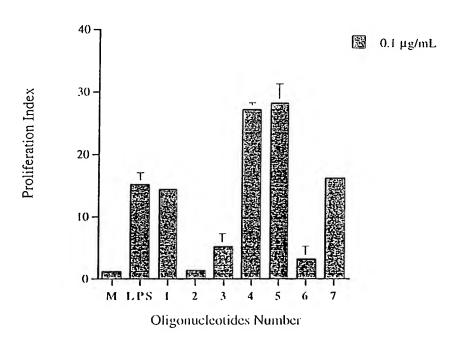


Figure 4 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1 2 3 4 5	5'-CTATCTGACGTTCTCTGT-3' (131-1) 5'-CTATCTGAXGTTCTCTGT-3' (131-13) 5'-CTATCTGXCGTTCTCTGT-3' (131-2) 5'-CTATCXGACGTTCTCTGT-3' (131-3) 5'-CTAXCTGACGTTCTCTGT-3' (131-4)	$X = \begin{pmatrix} 0 \\ 3 \end{pmatrix}$
6 7	5'-CTATCTGACGXTCTCTGT-3' (131-5) 5'-CTATCTGACGTTXTCTGT-3' (131-6)	O = P O S O 1

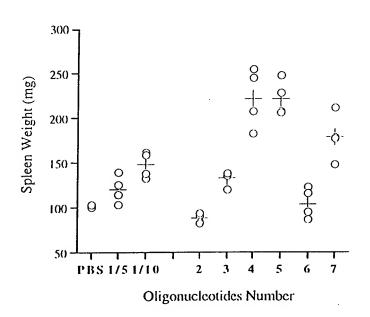


Figure 5 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	~~0
141-4	5'-CCTAXTAGCGTTCTCATC-3'	lĭ
141-5	5'-CCTXXTAGCGTTCTCATC-3'	
141-6	5'-XXTACTAGCGTTCTCATC-3'	X =
141-7	5'-CCTACTAGCGTXCTCATC-3'	
141-8	5'-CCTACTAGCGTTCXCATC-3'	0=P-0
141-9	5'-CCTACTAGCGTTCXXATC-3'	S _O 1
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

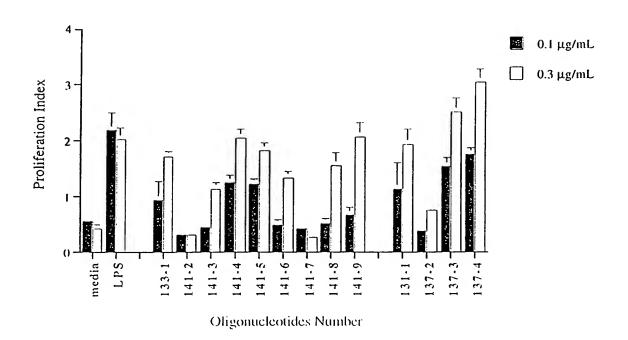


Figure 6 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	~~0
141-4	5'-CCTAXTAGCGTTCTCATC-3'	Ĭ
141-5	5'-CCTXXTAGCGTTCTCATC-3'	
141-6	5'-XXTACTAGCGTTCTCATC-3'	X =
141-7	5'-CCTACTAGCGTXCTCATC-3'	0
141-8	5'-CCTACTAGCGTTCXCATC-3'	0=P-0
141-9	5'-CCTACTAGCGTTCXXATC-3'	s _o
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	<u> </u>
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	ميمسين. -
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

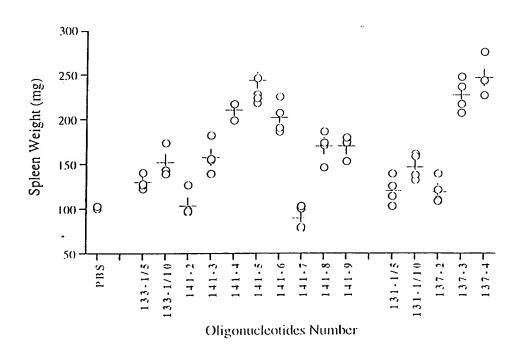


Figure 7 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)
7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)
9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)
10	5'-CCTACTAGCGTTCYCATC-3' (155-4)
X = \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
Y = r^{O} Spacer18	

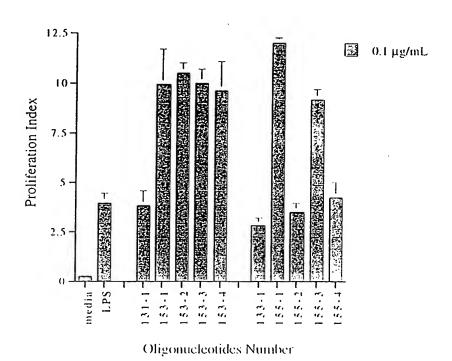


Figure 8 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)	
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)	
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)	
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)	
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)	
7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)	•
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)	
9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)	
10	5'-CCTACTAGCGTTCYCATC-3' (155-4)	
X = \\\(\frac{1}{2}\)		- Turquetapa
$Y = r^{O}$ Spacer18	0,000000000000000000000000000000000000	

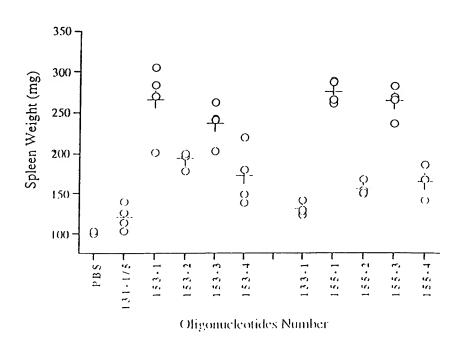


Figure 9 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	

$$X = \begin{pmatrix} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

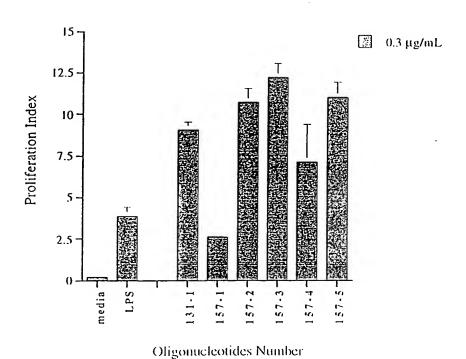
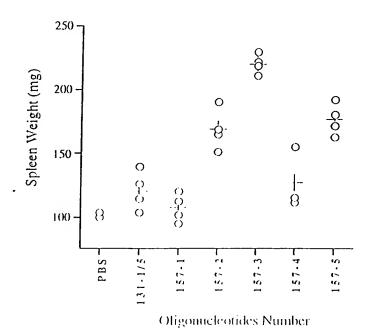


Figure 10 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)
	X =



Amino-Linker

Figure 11 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
159-1	5'-CTATCTGACXTTCTCTGT-3'	~0
159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5' \bigcirc P$
159-3	5'-CTATXTGACGTTCTCTGT-3'	2'
159-4	5'-CTATCTGACGTTCTXTGT-3'	0 0=P>0
133-1	5'-CCTACTAGCGTTCTCATC-3'	S [©] (5', 0 , B
161-1	5'-CCTACTAGCXTTCTCATC-3'	3')/
161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
161-3	5'-CCTACTAXCGTTCTCATC-3'	3 - Deoxyndoleoside
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	

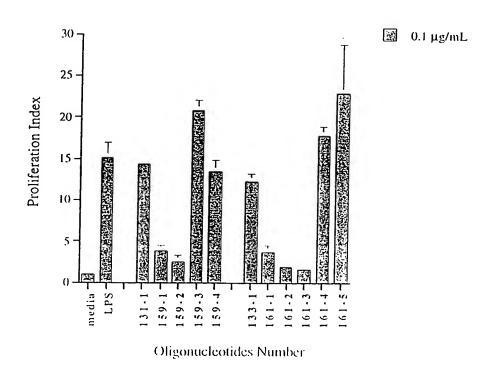


Figure 12 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

	Sequence & Modification	Oligo No.
	5'-CTATCTGACGTTCTCTGT-3'	131-1
~_0	5'-CTATCTGACXTTCTCTGT-3'	159-1
$X = 5' \bigcirc_{2'}^{B}$	5'-CTATCTGAXGTTCTCTGT-3'	159-2
2	5'-CTATXTGACGTTCTCTGT-3'	159-3
0 P O	5'-CTATCTGACGTTCTXTGT-3'	159-4
SO (5, 0 B	5'-CCTACTAGCGTTCTCATC-3'	133-1
3')—' O_	5'-CCTACTAGCXTTCTCATC-3'	161-1
3'-Deoxynucleoside	5'-CCTACTAGXGTTCTCATC-3'	161-2
. There were	5'-CCTACTAXCGTTCTCATC-3'	161-3
	5'-CCTAXTAGCGTTCTCATC-3'	161-4
	5'-CCTACTAGCGTTCTXATC-3'	161-5

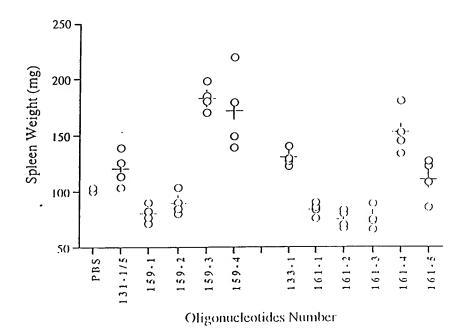


Figure 13 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
Oligo No. 131-1 167-1 167-2 167-3 167-4 167-5 167-6 167-7 167-8 167-9 167-10 16711	Sequence & Modification 5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTXACGTTCTCTGT-3' 5'-CTATCXGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTXXCTGACGTTCTCTGT-3' 5'-CTATCTGACGXTCTCTGT-3' 5'-CTATCTGACGTXCTCTGT-3' 5'-CTATCTGACGTTXTCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3'	X = 5' OB OPPO H ₃ C' Methyl-phosphonate

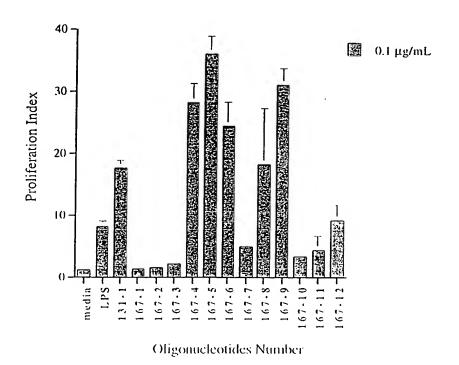


Figure 14 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
Oligo No. 131-1 167-1 167-2 167-3 167-4 167-5 167-6 167-7 167-8 167-9 167-10 16711	Sequence & Modification 5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTXACGTTCTCTGT-3' 5'-CTATCXGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTXXCTGACGTTCTCTGT-3' 5'-CTATCTGACGXTCTCTGT-3' 5'-CTATCTGACGTXCTCTGT-3' 5'-CTATCTGACGTTXTCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXXCT-3'	$X = 5 \cdot \begin{array}{c} 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 \\ 0 $

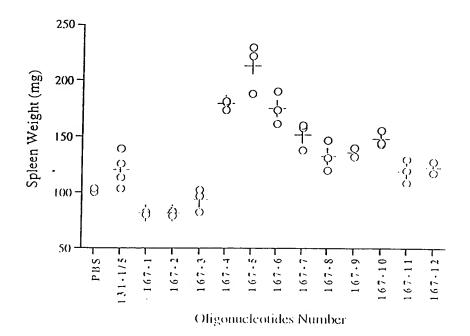


Figure 15 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~0
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' O B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	3'\{ OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=P-0 S +

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonucleoside

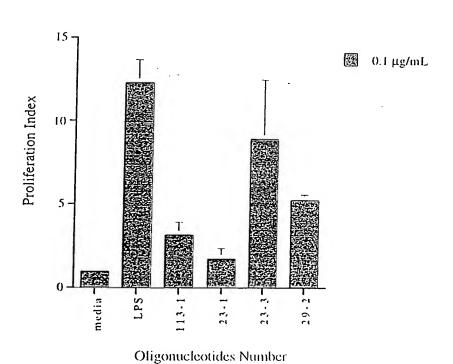


Figure 16 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~0 5'\0, _B
23-1 23-3	5'-TCCATGXCGTTCCTGATGC-3' 5'-TCCAXGACGTTCCTGATGC-3'	3' OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0 = P - 0

R_X = 2'-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonuclesside

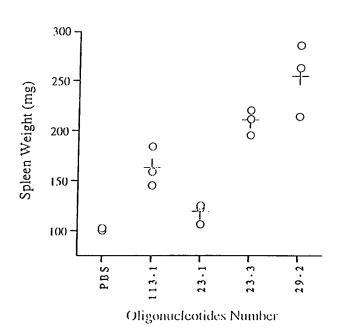


Figure 17 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
1	5'-GAGAACGCTCGACCTT-3'
2	5'-GAGAACGCTCGACCTT-3'
3	3'-TTCCAGCTCGCAAGAG-5'5'-GAGAACGCTCGACCTT-3'
4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'
5	5'-TCTCCCAGCGTGCGCCAT-3'
6	5'-TCCCAG <u>CG</u> TG <u>CG</u> CCAT-3' 5'-TCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
7	3'-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'
8	5'-T C C C A G C G T G C G C C A T-3' 3'-T A C C G C G T G C G A C C C T-5'
	5'-3'

5'-3'- direction of CpG motif

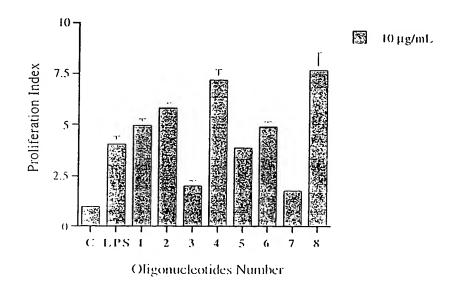


Figure 18 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
175-1	5'-CTATXTGACGTTCTCTGT-3'	o,"
175-2	5'-CTATCTGACGTTCXCTGT-3'	$X = {}^{B} Y^{O} Y$
175-3	5'-CTAXXTGACGTTCTCTGT-3'	
175-4	5'-CTATCTGACGTTCXXTGT-3'	0=P-0
175-5	5'-CTATCTGAXXTTCTCTGT-3'	S ^O (5'O E
175-6	5'-CTATCTXACGTTCTCTGT-3'	β-L-Deoxynucleoside 3'
175-7	5'-CTATCTGACGTXCTCTGT-3'	O _n
175-9	5'-CTATCTGACGTTCTCTGT-3' (all-β	-L-DNA/PS)

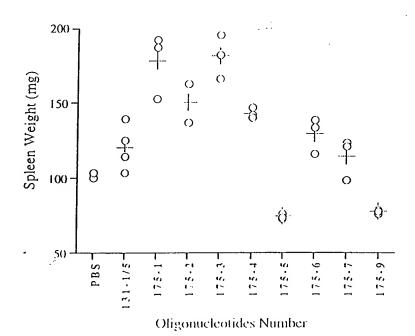


Figure 19 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
		~_o
131-1	5'-CTATCTGACGTTCTCTGT-3'	$X = 5' O^B$
173-1	5'-CTATXTGACGTTCTCTGT-3'	3' —
173-2	5'-CTATCTGACGTTCTXTGT-3'	OSPO CH
		2'-O-Propargyl-ribonucleoside

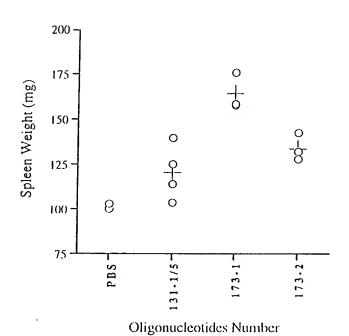


Figure 20 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
143-1	5'-CCTXXTAGCGTTCTCATC-3'	
143-2	5'-CCTYYTAGCGTTCTCATC-3'	
143-3	5'-CCTZZTAGCGTTCTCATC-3'	
143-4	5'-CCTXXTAGCGTVCTCATC-3'	
143-5	5'-CCTACTAG <u>GC</u> TTCTCATC-3'	
X =1',2'-Dideox Y = C3-Linker Z = 3'-OMe V = 2'-OMe		

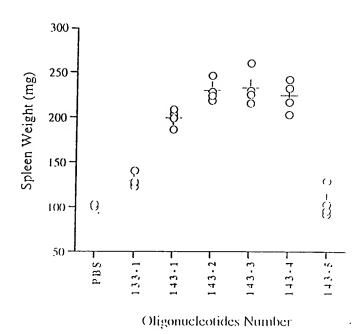


Figure 21 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
I	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTATCTGACG*TTCTCTGT-3' (E 633L)
3	5'-CTATCTGAG*CTTCTCTGT-3' (E 636)
4	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
5	5'-TCTCCCAGCG*TGCG*CCAT-3' (E 603)
6	5'-CTATXTGACG*TTCTCTGT-3' (E 639L)
0 N N dR G* = 7-deaz	NH NH_{2} $Aguanine$ $X = C3- Linker$

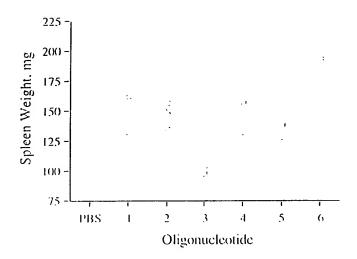


Figure 22 Oligodeoxynucleotide Phosphorothioates and Site of Modification

 Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1) 5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 682) 5'-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 683)	N N N N N N N N N N

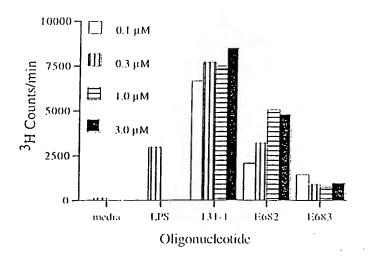


Figure 23 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification		
i	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH ₂	NH-CH ₂ -CH ₃
2	5'-CTATCTGAC*GTTCTCTGT-3'	HO	Z -
3	5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NO	N O
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	dR
5	5'-CTATCTGACC**TTCTCTGT-3'	C* = 5-hydroxy-cylosine C	= N4-ethyl-cytosine

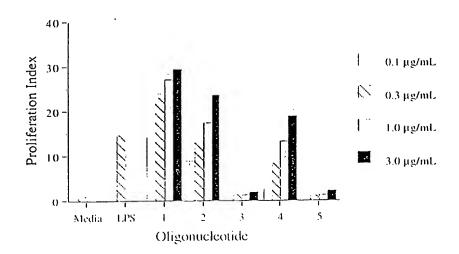


Figure 24 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	, , , , , , , , , , , , , , , , , , ,	
Ī	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH ₂	NH-CH ₂ -CH ₃
2	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	HON	N
3	5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NO	N
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	dR
5	5'-CTATCTGACC**TTCTCTGT-3'	C* = 5-hydroxy-cytosine C*	* = N4-ethyl-cytosine

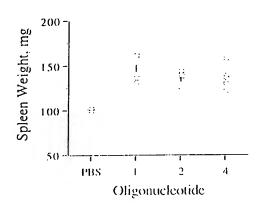


Figure 25 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' 5'-CTATCTGA <u>C*G</u> TTCTCTGT-3' 5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NH_2

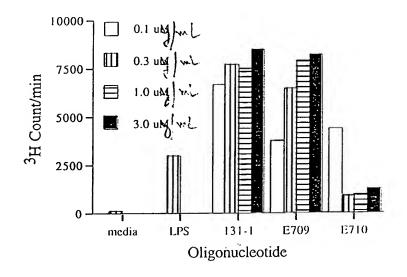


Figure 26 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No. Sequence & Modification

- 1 5'-CTATCTGACGTTCTCTGT-3' (131-1)
- 2 5'-CTATCTGAC*GTTCTCTGT-3' (E 647)
- 3 5'-CTATXTGA<u>C*G</u>TTCTCTGT-3' (E 653)

S

NH

NH

O

O

O

O

O

O

O

C* = 4-Thiouracil

$$X = 1, 2$$
-Dideoxyribose

